

## SEARCH REQUEST FORM

## Scientific and Technical Information Center

Requester's Full Name: DAVID LUKTON

Art Unit: 1653

Phone Number 308-3213

Examiner #: 71263 Date: 10/16/06

Mail Box and Bldg/Room Location: 9B05

Serial Number: 09/486062

Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if

Title: Cyclopeptide derivatives as adhesion inhibitors.

Applicants: HOLZEMANN, GUNTHER; GOODMAN, SIMON L.

Earliest Priority Date: 8/23/97

\* \* \* \* \*

Edward Hart  
Technical Info Specialist  
STIC/BioTech  
CMI 12C14 Tel: 305-9203

Applicants are claiming the compounds on the attached sheet.

R<sup>1</sup> = anything;

R<sup>2</sup> = anything;

R<sup>3</sup> = anything;

R<sup>4</sup> = anything;

n = 1-4

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## Type of Search

## Vendors and cost where applicable

Searcher: \_\_\_\_\_

NA Sequence (#) \_\_\_\_\_

SPL

Searcher Phone #: \_\_\_\_\_

AA Sequence (#) \_\_\_\_\_

Dialog

Searcher Location: \_\_\_\_\_

Structure (#) \_\_\_\_\_

Questel/Orbit

Date Searcher Picked Up: 10/20/06

Bibliographic \_\_\_\_\_

Dr. Link

Date Completed: 10/27/06

Litigation \_\_\_\_\_

Lexis/Nexis

Searcher Prep &amp; Review Time: \_\_\_\_\_

Fulltext \_\_\_\_\_

Sequence Systems

Clerical Prep Time: \_\_\_\_\_

Patent Family \_\_\_\_\_

WWW/Internet

Online Time: \_\_\_\_\_

Other \_\_\_\_\_

Other (specify) \_\_\_\_\_



L4

1 SEA FILE=CAPLUS ABB=ON PLU=ON L3

=&gt; d ibib abs hitrn 14 tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2000 ACS  
 ACCESSION NUMBER: 1998:147912 CAPLUS  
 DOCUMENT NUMBER: 130:153991  
 TITLE: Preparation of cyclo-peptide derivatives  
 INVENTOR(S): Hzelzemann, Guenter; Goodman, Simon  
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
 SOURCE: Ger. Offen., 18 pp.  
 CODE: GWXXBM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19736772	A1	19980219	DE 1997-19736772	19970823
WO 9910371	A2	19990304	WO 1998-EP5161	19980414
WC 9910371	A3	19990527		
W: AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KE, LC, LK, LF, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, VI, YU, ZW, AM, AZ, BY, KG, KZ, MD, FU, TJ, TM PW: GH, GM, KE, LS, MW, SE, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GE, GR, IE, IT, LU, MC, NL, PT, SE, BF, BE, CG, CI, CM, GA, GN, GW, ML, MF, NE, SN, TD, TG				
AU 9895313	A1	19990316	AU 1998-95313	19980214
EP 1007545	A2	20000614	EP 1998-943833	19980414
R: AT, BE, CH, DE, DK, ES, FF, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
ZA 9807558	A	19980226	ZA 1998-7558	19980220
NO 2000000860	A	20000102	NO 2000-860	20000222
PRIORITY APPLN. INFO.: DE 1997-19736772 19970823 WO 1998-EP5161 19980814				
OTHER SOURCE(S):		MARPAT 130:153991		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; A = Gly, Ala, NHNHCO; B = II; C = (OC)p-CH<sub>2</sub>q-(CO)r or (CO)p-CH<sub>2</sub>CH-(CO)r; m, p, r = independently 0 or 1; n, q = independently 1-4; R, R<sub>1</sub> = independently H, alkyl; R, R<sub>1</sub> together = C(R<sub>1</sub>)-C(R<sub>2</sub>) or disubstituted 2-C6H<sub>2</sub>-R<sub>4</sub>, R<sub>5</sub>; R<sub>1</sub>-R<sub>5</sub> independently H, alkyl, (un)substituted aryl, CF<sub>3</sub>, halogen, N(R<sub>2</sub>), U(R<sub>6</sub>, R<sub>6</sub>'), NHCO<sub>2</sub>R<sub>6</sub>, CN, NHCO<sub>2</sub>R<sub>6</sub>, C(O)OR<sub>6</sub>, C(O)OC<sub>2</sub>R<sub>6</sub>; X = H, halogen, alkyl, (un)substituted aryl; R<sub>6</sub>, R<sub>6</sub>' = independently H, alkyl, Ph, CH<sub>2</sub>Ph], useful as integrin inhibitors, were prepd. for use in human or veterinary medicine (no data). Thus, 3-(S)-3-amino-3-(4-methyl-3-nitrophenyl)propionic acid Me ester was resolved from the (F,F)-mixt. and reacted first with succinic anhydride and then H-Arg(Mtr)-Gly-CBu-t to give III, which was cyclized and deprotected to give IV.

IT 220307-40-4P 220307-41-5P 220307-42-6P  
 220307-43-7P

RL: FCT (Reactant); SPN (Synthetic preparation); EFP (Preparation)  
 (prepn. and reaction of in the prepn. of cyclo-peptide derivs. for use  
 as medicaments,

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IT 220307-44-8P 220307-45-9P 220307-46-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of for use as medicaments)

=> file caold

FILE 'CAOLD' ENTERED AT 11:39:35 ON 27 OCT 2000  
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s 17

L7 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (>).

=> s 13

L5 0 L3

=> file reg

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STRUCTURE FILE UPDATES: 26 OCT 2000 HIGHEST FN 299893-04-2  
DICTIONARY FILE UPDATES: 26 OCT 2000 HIGHEST FN 299893-04-2

TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT for details.

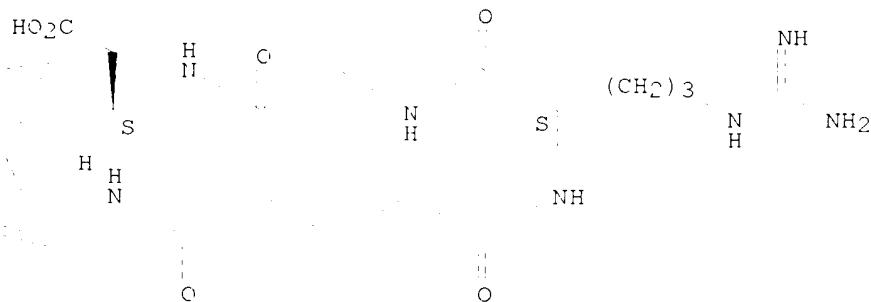
=> d ide can 1: 1-7

LC ANSWER 1 OF 7 REGISTRY COPYRIGHT 2000 ACS  
FN 220307-46-0 REGISTRY  
CN .beta.-Alanine, N2-(4-carboxy-1-oxobutyl)-L-arginylglycyl-3-(3-aminophenyl)-, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)  
FS STERECSEARCH

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MF C22 H31 N7 O6  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

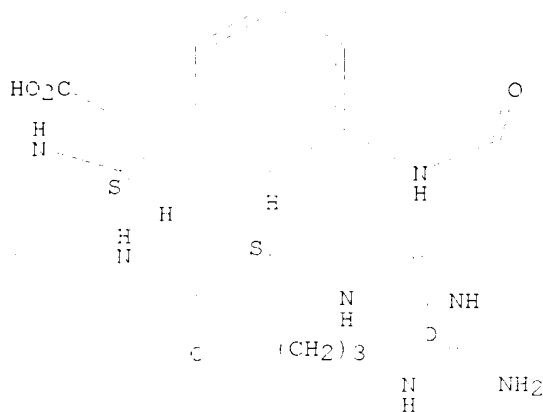


1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:153991

LR ANSWER 2 OF 7 REGISTRY COPYRIGHT 2000 ACS  
 RN 220307-45-9 REGISTRY  
 CN .beta.-Alanine, N2-(3-carboxy-1-oxopropyl)-L-arginylglycyl-3-(3-aminophenyl)-, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H29 N7 O6  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



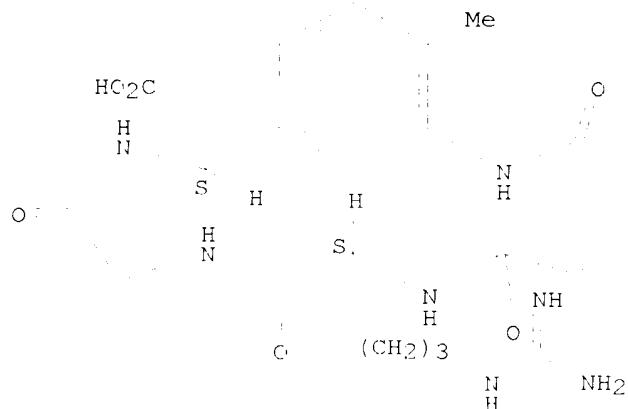
1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:153991

LR ANSWER 3 OF 7 REGISTRY COPYRIGHT 2000 ACS  
 RN 220307-44-8 REGISTRY  
 CN .beta.-Alanine, N2-(3-carboxy-1-oxopropyl)-L-arginylglycyl-3-(3-amino-4-methylphenyl)-, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C22 H31 N7 O6  
 SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:153991

L3 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2000 ACS

RN 220307-43-7 REGISTRY

CN .beta.-Alanine, N2-(4-carboxy-1-cxobutyl)-N5-[imino{[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino]methyl}-L-ornithylglycyl-3-(3-aminophenyl)-, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

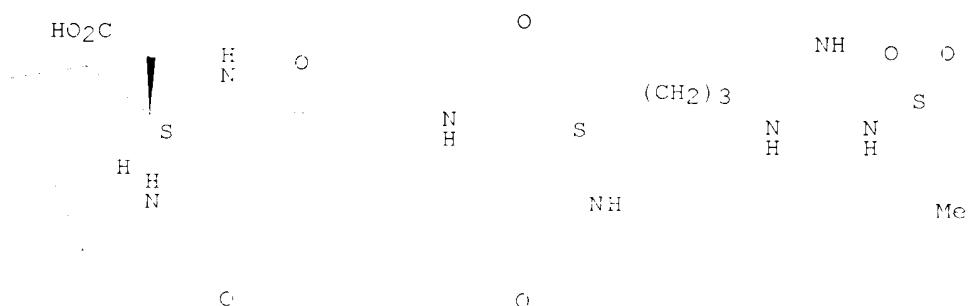
MF C32 H43 N7 O9 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

CMe

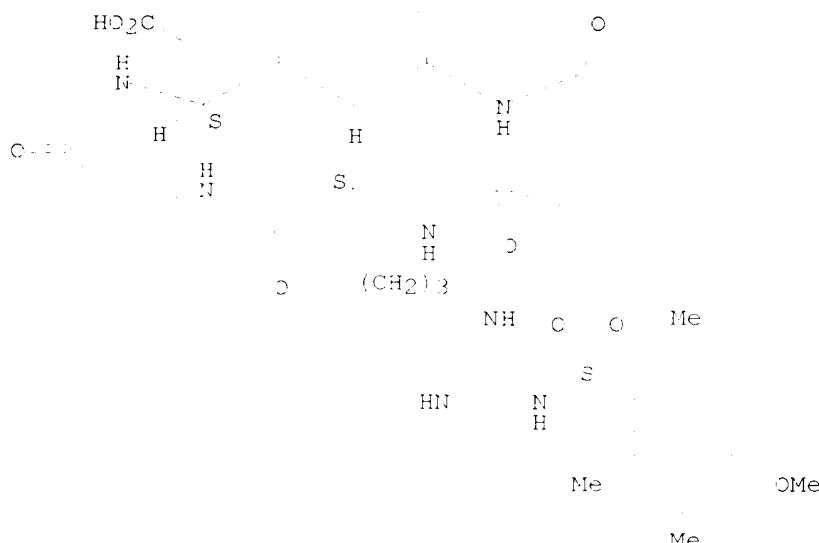
Me

1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:153991

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2000 ACS  
RM 220307-42-6 REGISTRY  
CN .beta.-Alanine, N2-(3-carboxy-1-oxopropyl)-N5-[imino{[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithylglycyl-3-(3-aminophenyl)-, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C31 H41 N7 O9 S  
SR CA  
LC STN Files: CA, CAPLUS

## Absolute stereocchemistry.



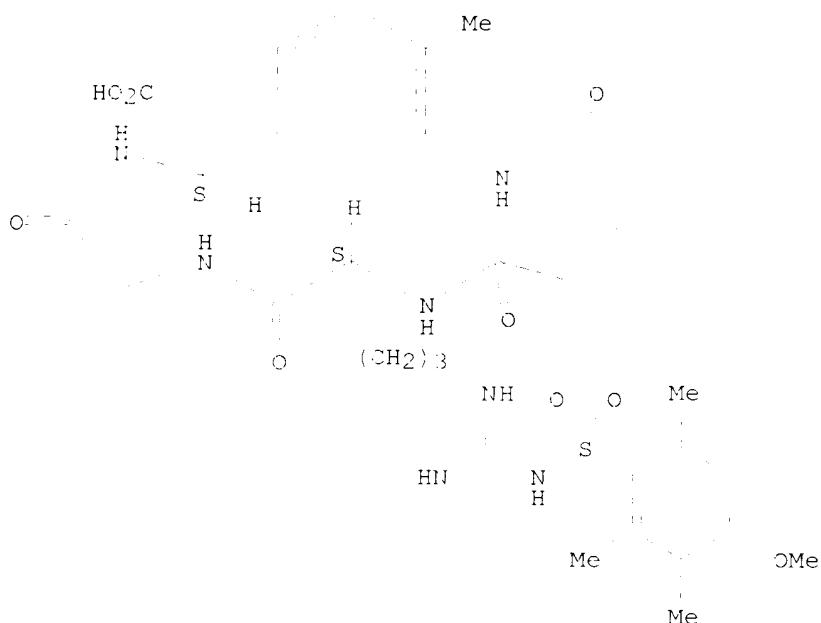
1 REFERENCES IN FILE CA (1967 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 4: 130:153991

LG ANSWER 6 OF 7 REGISTRY COPYRIGHT 2000 ACS  
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RN 220307-41-5 REGISTRY  
 CN .beta.-Alanine, N2-(3-carboxy-1-oxopropyl)-N5-[imino{[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithylglycyl-3-(3-amino-4-methylphenyl)-, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H43 N7 O9 S  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

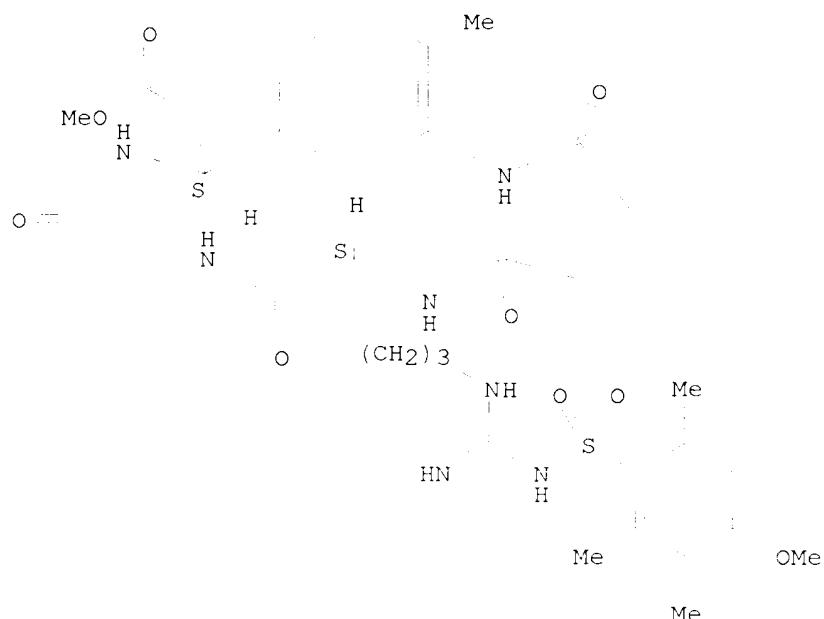


1 REFERENCES IN FILE CA (1967 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:153991

LS ANSWER 7 OF 7 REGISTRY COPYRIGHT 2000 ACS  
 RN 220307-40-4 REGISTRY  
 CN .beta.-Alanine, N2-(3-carboxy-1-oxopropyl)-N5-[imino{[(4-methoxy-2,3,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithylglycyl-3-(3-amino-4-methylphenyl)-, 3-methyl ester, (1.fwdarw.3)-lactam, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C33 H45 N7 O9 S  
 SF CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 130:153991